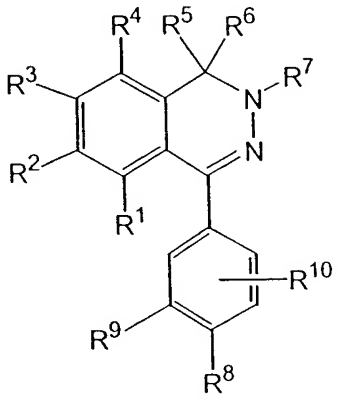
CLAIMS

We claim:

1. A compound of Formula I:



wherein

R^1, R^2, R^3 and R^4 are independently

H,

HO,

$R^{11}O$-,

halogen (F, Cl, Br),

C1-C3-alkyl,

CF_3,

$R^{12}CO_2$-,

$R^{12}O_2C$-,

$R^{12}CO$-,

$R^{12}CONH$-,

$R^{12}NHCO$-,

$R^{12}NHCO_2$-,

$R^{12}OCONH$-,

$R^{12}O_2S$-,

$R^{12}OS$-, or

$R^{13}R^{14}N$-; or

R^1 and R^2, or R^2 and R^3, or R^3 and R^4 taken together can be

$-SCH_2S-$,

$-SCH_2O-$,

$-OCH_2S-$,

$-SCH_2CH_2S-$,

$-SCH_2CH_2O-$, or

$-OCH_2CH_2S-$;

wherein at least one of R^1, R^2, R^3 and R^4 must be a C1-C3-alkylthio group,

R^5 and R^6 are independently

H,

C1-C6-alkyl,

C3-C6-alkenyl,

C3-C6-cycloalkyl, or

phenyl or substituted phenyl, wherein the phenyl is substituted with one or two substituents selected from the group consisting of C1-C3-alkyl, halogen (F, Cl, Br), $R^{11}O-$, CF_3-, $R^{12}O_2S-$, $R^{12}OS-$, $R^{12}CO$, $R^{12}CO_2-$, $R^{12}O_2C-$, $R^{12}CONH-$, $R^{12}NHCO-$, $R^{12}NHCO_2-$, $R^{12}OCONH$, and $R^{13}R^{14}N-$; or

R^5 and R^6 taken together can be C3-C6-cycloalkyl;

R^7 is

$R^{13}R^{14}NCO-$,

$R^{13}R^{14}NCS-$,

$R^{13}R^{14}N(CR^{15})-$,

$R^{15}OCO-$,

$R^{13}CO-$,

$R^{13}R^{14}NCH_2CO-$,

$R^{12}O_2C-(CH_2)_n-$,

$R^{13}R^{14}NCO-(CH_2)_n-$,

$NC-(CH_2)_n-$,

H,

C1-C6-alkyl,

C3-C6-alkenyl, or

C3-C6-cycloalkyl; or

R^6 and R^7 taken together can be

$-(CH_2)_mCH_2(R^{13})NCO-$,

$-(CH_2)_mCH_2OCO-$, or

$-(CH_2)_mCH_2CH_2CO-$;

R^8 and R^9 are independently

H,

$R^{13}R^{14}N-$,

$R^{13}R^{14}N(CR^{15})-$,

$R^{12}HNCO-$, or

$R^{12}CONH-$;

R^{10} is

H,

halogen (F, Cl, Br),

HO,

$R^{11}O-$,

$R^{13}R^{14}N-$,

C1-C3-alkyl,

CF_3,

$R^{12}CO_2-$,

$R^{12}CO-$, or

$R^{12}CONH-$;

R^{11} is C1-C3-alkyl;

R^{12} is H or C1-C3-alkyl;

R^{13} and R^{14} are independently

H,

C1-C10-alkyl,

C1-C6-perfluoroalkyl,

C3-C10-alkenyl, or

C3-C6-cycloalkyl; or

R^{13} and R^{14} taken together can be C3-C6-cycloalkyl;

R^{15} is C1-C6-alkyl, C3-C6-alkenyl, or C3-C6-cycloalkyl;

n is 1 to 6;

m is 0 to 2;

and pharmaceutically acceptable salts thereof;

wherein R^8 and R^9 cannot be both be H.

2. The compound of claim 1 of Formula I wherein

one of four substituents of R^1, R^2, R^3 and R^4 must be C1-C3-alkylthio group, the other substituents are independently H, $R^{11}O$-, $R^{11}S$-, halogen (F, Cl, Br), or C1-C3-alkyl;

R^2 and R^3 taken together can be $-SCH_2S$-, $-SCH_2O$-, or $-OCH_2S$-;

R^7 is

$R^{13}R^{14}NCO$-,

$R^{13}R^{14}NCS$-,

$R^{13}R^{14}N(CR^{15})$-,

$R^{15}OCO$-,

$R^{13}CO$-, or

H;

R^8 and R^9 are independently H, H_2N- or CH_3CONH-; and pharmaceutically acceptable salts thereof.

3. The compound of claim 2 of Formula I selected from the group consisting of

4-(4-Aminophenyl)-1,2-dihydro-1-methyl-2-ethylcarbamoyl-6-methylthiophthalazine, 4-(4-Aminophenyl)-1,2-dihydro-1-methyl-2-*n*-propylcarbamoyl-6-methylthiophthalazine, 4-(4-Aminophenyl)-1,2-dihydro-1-methyl-2-*n*-butylcarbamoyl-6-methylthiophthalazine, 4-(4-Aminophenyl)-1,2-dihydro-2-ethylcarbamoyl-6-methylthiophthalazine, 4-(4-Aminophenyl)-1,2-dihydro-2-*n*-propylcarbamoyl-6-methylthiophthalazine, and 4-(4-Aminophenyl)-1,2-dihydro-2-*n*-butylcarbamoyl-6-methylthiophthalazine.

4. The compound of claim 1 further comprising a pharmaceutically acceptable carrier.

5. The compound of claim 2 further comprising a pharmaceutically acceptable carrier.

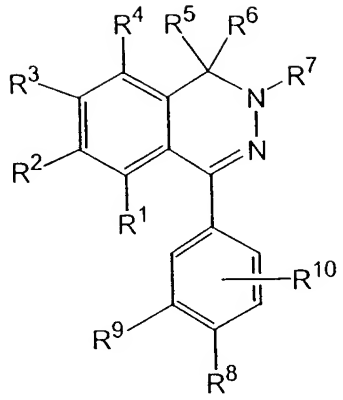
6. The compound of claim 3 further comprising a pharmaceutically acceptable carrier.

7. The compound of claim 4 in a dosage form comprising a therapeutically effective amount of the compound for treating a disorder in a patient associated with excessive activation of the α-amino-3-hydroxy-5-methyl-4-isooxazolepropionic acid (AMPA) subtype of the ionotropic excitatory amino acid (EAA) receptors.

8. The compound of claim 5 in a dosage form comprising a therapeutically effective amount of the compound for treating a disorder in a patient associated with excessive activation of the α-amino-3-hydroxy-5-methyl-4-isooxazolepropionic acid (AMPA) subtype of the ionotropic excitatory amino acid (EAA) receptors.

9. The compound of claim 6 in a dosage form comprising a therapeutically effective amount of the compound for treating a disorder in a patient associated with excessive activation of the α-amino-3-hydroxy-5-methyl-4-isooxazolepropionic acid (AMPA) subtype of the ionotropic excitatory amino acid (EAA) receptors.

10. A method for treating a patient having a disorder associated with excessive activation of the α-amino-3-hydroxy-5-methyl-4-isooxazolepropionic acid (AMPA) subtype of the ionotropic excitatory amino acid (EAA) receptors, the method comprising administering to the patient, in an effective amount to alleviate the symptoms of the disorder, a compound of Formula I:-



wherein

R^1, R^2, R^3 and R^4 are independently

H,

HO,

$R^{11}O$-,

halogen (F, Cl, Br),

C1-C3-alkyl,

CF_3,

$R^{12}CO_2$-,

$R^{12}O_2C$-,

$R^{12}CO$-,

$R^{12}CONH$-,

$R^{12}NHCO$-,

$R^{12}NHCO_2$-,

$R^{12}OCONH$-,

$R^{12}O_2S$-,

$R^{12}OS$-, or

$R^{13}R^{14}N$-; or

R^1 and R^2, or R^2 and R^3, or R^3 and R^4 taken together can be

$-SCH_2S$-,

$-SCH_2O$-,

$-OCH_2S-$,

$-SCH_2CH_2S-$,

$-SCH_2CH_2O-$, or

$-OCH_2CH_2S-$;

wherein at least one of R^1, R^2, R^3 and R^4 must be a C1-C3-alkylthio group,

R^5 and R^6 are independently

H,

C1-C6-alkyl,

C3-C6-alkenyl,

C3-C6-cycloalkyl, or

phenyl or substituted phenyl, wherein the phenyl is substituted with one or two substituents selected from the group consisting of C1-C3-alkyl, halogen (F, Cl, Br), $R^{11}O-$, CF_3-, $R^{12}O_2S-$, $R^{12}OS-$, $R^{12}CO$, $R^{12}CO_2-$, $R^{12}O_2C-$, $R^{12}CONH-$, $R^{12}NHCO-$, $R^{12}NHCO_2-$, $R^{12}OCONH$, and $R^{13}R^{14}N-$; or

R^5 and R^6 taken together can be C3-C6-cycloalkyl;

R^7 is

$R^{13}R^{14}NCO-$,

$R^{13}R^{14}NCS-$,

$R^{13}R^{14}N(CR^{15})-$,

$R^{15}OCO-$,

$R^{13}CO-$,

$R^{13}R^{14}NCH_2CO-$,

$R^{12}O_2C-(CH_2)_n-$,

$R^{13}R^{14}NCO-(CH_2)_n-$,

$NC-(CH_2)_n-$,

H,

C1-C6-alkyl,

C3-C6-alkenyl, or

C3-C6-cycloalkyl; or

R^6 and R^7 taken together can be

$-(CH_2)_mCH_2(R^{13})NCO-$,

$-(CH_2)_mCH_2OCO-$, or

$-(CH_2)_mCH_2CH_2CO-$;

R^8 and R^9 are independently

H,

$R^{13}R^{14}N-$,

$R^{13}R^{14}N(CR^{15})-$,

$R^{12}HNCO-$, or

$R^{12}CONH-$;

R^{10} is

H,

halogen (F, Cl, Br),

HO,

$R^{11}O-$,

$R^{13}R^{14}N-$,

C1-C3-alkyl,

CF_3,

$R^{12}CO_2-$,

$R^{12}CO-$, or

$R^{12}CONH-$;

R^{11} is C1-C3-alkyl;

R^{12} is H or C1-C3-alkyl;

R^{13} and R^{14} are independently

H,

C1-C10-alkyl,

C1-C6-perfluoroalkyl,

C3-C10-alkenyl, or

C3-C6-cycloalkyl; or

R^{13} and R^{14} taken together can be C3-C6-cycloalkyl;

R^{15} is C1-C6-alkyl, C3-C6-alkenyl, or C3-C6-cycloalkyl;

n is 1 to 6;

m is 0 to 2;

and pharmaceutically acceptable salts thereof;

wherein R^8 and R^9 cannot be both be H,

in combination with a pharmaceutically acceptable carrier.

11. The method of claim 10 wherein, in the compound of Formula I,

one of four substituents of R^1, R^2, R^3 and R^4 must be C1-C3-alkylthio group, the other substituents are independently H, $R^{11}O$-, $R^{11}S$-, halogen (F, Cl, Br), or C1-C3-alkyl;

R^2 and R^3 taken together can be $-SCH_2S$-, $-SCH_2O$-, or $-OCH_2S$-;

R^7 is

$R^{13}R^{14}NCO$-,

$R^{13}R^{14}NCS$-,

$R^{13}R^{14}N(CR^{15})$-,

$R^{15}OCO$-,

$R^{13}CO$-, or

H;

R^8 and R^9 are independently H, H_2N- or CH_3CONH-; and pharmaceutically acceptable salts thereof.

12. The method of claim 11 wherein the compound of Formula I is selected from the group consisting of

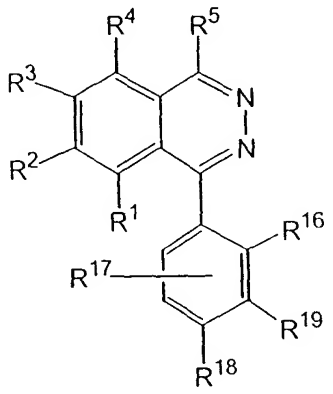
4-(4-Aminophenyl)-1,2-dihydro-1-methyl-2-ethylcarbamoyl-6-methylthiophthalazine, 4-(4-Aminophenyl)-1,2-dihydro-1-methyl-2-*n*-propylcarbamoyl-6-methylthiophthalazine, 4-(4-Aminophenyl)-1,2-dihydro-1-methyl-2-*n*-butylcarbamoyl-6-methylthiophthalazine, 4-(4-Aminophenyl)-1,2-dihydro-2-ethylcarbamoyl-6-methylthiophthalazine, 4-(4-Aminophenyl)-1,2-dihydro-2-*n*-propylcarbamoyl-6-methylthiophthalazine, and 4-(4-Aminophenyl)-1,2-dihydro-2-*n*-butylcarbamoyl-6-methylthiophthalazine.

13. The method of claim 10 wherein the disorder is selected from the group consisting of neurological, neuropsychological, neuropsychiatric, neurodegenerative, neuropsychopharmacological and functional disorders.

14. The method of claim 11 wherein the disorder is selected from the group consisting of neurological, neuropsychological, neuropsychiatric, neurodegenerative, neuropsychopharmacological and functional disorders.

15. The method of claim 12 wherein the disorder is selected from the group consisting of neurological, neuropsychological, neuropsychiatric, neurodegenerative, neuropsychopharmacological and functional disorders.

16. A compound of Formula II:



wherein

R^1, R^2, R^3 and R^4 are independently

H,

HO,

$R^{11}O$-,

halogen (F, Cl, Br),

C1-C3-alkyl,

CF_3,

$R^{12}CO_2$-,

R^{12} O_2C-,

$R^{12}CO$-,

$R^{12}CONH$-,

$R^{12}NHCO$-,

$R^{12}NHCO_2$-,

$R^{12}OCONH$-,

$R^{12}O_2S$-,

$R^{12}OS$-, or

$R^{13}R^{14}N$-; or

R^1 and R^2, or R^2 and R^3, or R^3 and R^4 taken together can be

$-SCH_2S$-,

$-SCH_2O$-

$-OCH_2S$-

$-SCH_2CH_2S$-,

$-SCH_2CH_2O$-, or

$-OCH_2CH_2S$-;

wherein at least one of R^1, R^2, R^3 and R^4 must be a C1-C3-alkylthio group;

R^5 is

H,

C1-C6-alkyl,

C3-C6-alkenyl,

C3-C6-cycloalkyl,

phenyl or substituted phenyl, wherein the phenyl is substituted with one or two substituents selected from the group consisting of C1-C3-alkyl, halogen (F, Cl, Br), $R^{11}O$-, CF_3-, $R^{12}O_2S$-, $R^{12}OS$-, $R^{12}CO$, $R^{12}CO_2$-, $R^{12}O_2C$-, $R^{12}CONH$-, $R^{12}NHCO$-, $R^{12}NHCO_2$-, $R^{12}OCONH$, or $R^{13}R^{14}N$-;

R^{11} is C1-C3-alkyl;

R^{12} is H or C1-C3-alkyl;

R^{13} and R^{14} are independently

H,

C1-C10-alkyl,

C1-C6-perfluoroalkyl,

C3-C10-alkenyl, or

C3-C6-cycloalkyl; or

R^{13} and R^{14} taken together can be C3-C6-cycloalkyl;

R^{15} is C1-C6-alkyl, C3-C6-alkenyl, or C3-C6-cycloalkyl;

R^{16} and R^{17} are independently

H,

halogen (F, Cl, Br),

C1-C3-alkyl,

$R^{12}O$-,

CF_3-, or

$R^{12}CO_2$-;

R^{18} and R^{19} are independently

H,

$R^{13}R^{14}N$-,

$R^{13}HNC(NH)$-, or

$R^{12}CONH$-;

and pharmaceutically acceptable salts thereof;

wherein R^{18} and R^{19} cannot both be H.

17. The compound of claim 16 of Formula II wherein

one of four substituents of R^1, R^2, R^3 and R^4 must be a C1-C3-alkylthio group, the other substituents are independently H, $R^{11}O$-, $R^{11}S$-, halogen (F, Cl, Br), or C1-C3-alkyl;

R^2 and R^3 taken together can be $-SCH_2S$-, $-SCH_2O$-, or $-OCH_2S$-;

R^{18} and R^{19} are independently H, H_2N-, or CH_3CONH-; and pharmaceutically acceptable salts thereof.

18. The compound of claim 17 of Formula II selected from the group consisting of

1-(4-Aminophenyl)-6-methylthiophthalazine, 1-(4-Acetylaminophenyl)-6-methylthiophthalazine, 1-(4-Aminophenyl)-7-methylthiophthalazine,

1-(4-Acetylaminophenyl)-7-methylthiophthalazine, 1-(4-Aminophenyl)-4-methyl-6-methylthiophthalazine, 1-(4-Acetylaminophenyl)-4-methyl-6-methylthiophthalazine, 1-(4-Aminophenyl)-4-methyl-7-methylthiophthalazine, and 1-(4-Acetylaminophenyl)-4-methyl-7-methylthiophthalazine.

19. The compound of claim 16 further comprising a pharmaceutically acceptable carrier.

20. The compound of claim 17 further comprising a pharmaceutically acceptable carrier.

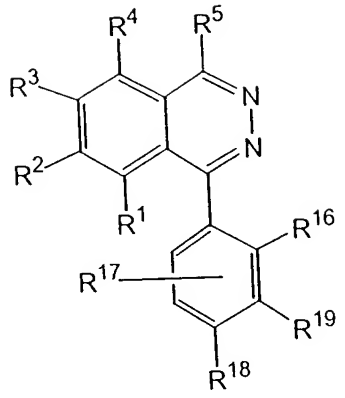
21. The compound of claim 18 further comprising a pharmaceutically acceptable carrier.

22. The compound of claim 19 in a dosage form comprising a therapeutically effective amount of the compound for treating a disorder in a patient associated with excessive activation of the α-amino-3-hydroxy-5-methyl-4-isooxazolepropionic acid (AMPA) subtype of the ionotropic excitatory amino acid (EAA) receptors.

23. The compound of claim 20 in a dosage form comprising a therapeutically effective amount of the compound for treating a disorder in a patient associated with excessive activation of the α-amino-3-hydroxy-5-methyl-4-isooxazolepropionic acid (AMPA) subtype of the ionotropic excitatory amino acid (EAA) receptors.

24. The compound of claim 21 in a dosage form comprising a therapeutically effective amount of the compound for treating a disorder in a patient associated with excessive activation of the α-amino-3-hydroxy-5-methyl-4-isooxazolepropionic acid (AMPA) subtype of the ionotropic excitatory amino acid (EAA) receptors.

25. A method for treating a patient having a disorder associated with excessive activation of the α-amino-3-hydroxy-5-methyl-4-isooxazolepropionic acid (AMPA) subtype of the ionotropic excitatory amino acid (EAA) receptors, the method comprising administering to the patient, in an effective amount to alleviate the symptoms of the disorder, a compound of Formula II:



wherein

R^1, R^2, R^3 and R^4 are independently

H,

HO,

$R^{11}O$-,

halogen (F, Cl, Br),

C1-C3-alkyl,

CF_3,

$R^{12}CO_2$-,

$R^{12}O_2C$-,

$R^{12}CO$-,

$R^{12}CONH$-,

$R^{12}NHCO$-,

$R^{12}NHCO_2$-,

$R^{12}OCONH$-,

$R^{12}O_2S$-,

$R^{12}OS$-, or

$R^{13}R^{14}N$-; or

R^1 and R^2, or R^2 and R^3, or R^3 and R^4 taken together can be

$-SCH_2S$-,

$-SCH_2O-$

$-OCH_2S-$

$-SCH_2CH_2S-$,

$-SCH_2CH_2O-$, or

$-OCH_2CH_2S-$;

wherein at least one of R^1, R^2, R^3 and R^4 must be a C1-C3-alkylthio group;

R^5 is

H,

C1-C6-alkyl,

C3-C6-alkenyl,

C3-C6-cycloalkyl,

phenyl or substituted phenyl, wherein the phenyl is substituted with one or two substituents selected from the group consisting of C1-C3-alkyl, halogen (F, Cl, Br), $R^{11}O-$, CF_3-, $R^{12}O_2S-$, $R^{12}OS-$, $R^{12}CO$, $R^{12}CO_2-$, $R^{12}O_2C-$, $R^{12}CONH-$, $R^{12}NHCO-$, $R^{12}NHCO_2-$, $R^{12}OCONH$, or $R^{13}R^{14}N-$;

R^{11} is C1-C3-alkyl;

R^{12} is H or C1-C3-alkyl;

R^{13} and R^{14} are independently

H,

C1-C10-alkyl,

C1-C6-perfluoroalkyl,

C3-C10-alkenyl, or

C3-C6-cycloalkyl; or

R^{13} and R^{14} taken together can be C3-C6-cycloalkyl;

R^{15} is C1-C6-alkyl, C3-C6-alkenyl, or C3-C6-cycloalkyl;

R^{16} and R^{17} are independently

H,

halogen (F, Cl, Br),

C1-C3-alkyl,

$R^{12}O-$,

CF_3-, or

$R^{12}CO_2$-;

R^{18} and R^{19} are independently

H,

$R^{13}R^{14}N$-,

$R^{13}HNC(NH)$-, or

$R^{12}CONH$-;

and pharmaceutically acceptable salts thereof;

wherein R^{18} and R^{19} cannot both be H,

in combination with a pharmaceutically acceptable carrier.

26. The method of claim 25 wherein, in the compound of Formula II,

one of four substituents of R^1, R^2, R^3 and R^4 must be a C1-C3-alkylthio group, the other substituents are independently H, $R^{11}O$-, $R^{11}S$-, halogen (F, Cl, Br), or C1-C3-alkyl;

R^2 and R^3 taken together can be $-SCH_2S$-, $-SCH_2O$-, or $-OCH_2S$-;

R^{18} and R^{19} are independently H, H_2N-, or CH_3CONH-; and pharmaceutically acceptable salts thereof.

27. The method of claim 26 wherein the compound of Formula II is selected from the group consisting of

1-(4-Aminophenyl)-6-methylthiophthalazine, 1-(4-Acetylaminophenyl)-6-methylthiophthalazine, 1-(4-Aminophenyl)-7-methylthiophthalazine, 1-(4-Acetylaminophenyl)-7-methylthiophthalazine, 1-(4-Aminophenyl)-4-methyl-6-methylthiophthalazine, 1-(4-Acetylaminophenyl)-4-methyl-6-methylthiophthalazine, 1-(4-Aminophenyl)-4-methyl-7-methylthiophthalazine, and 1-(4-Acetylaminophenyl)-4-methyl-7-methylthiophthalazine.

28. The method of claim 25 wherein the disorder is selected from the group consisting of neurological, neuropsychological, neuropsychiatric, neurodegenerative, neuropsychopharmacological and functional disorders.

29. The method of claim 26 wherein the disorder is selected from the group consisting of neurological, neuropsychological, neuropsychiatric, neurodegenerative, neuropsychopharmacological and functional disorders.

30. The method of claim 27 wherein the disorder is selected from the group consisting of neurological, neuropsychological, neuropsychiatric, neurodegenerative, neuropsychopharmacological and functional disorders.